10584951

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NEWS 2	APR 04	STN AnaVist, Version 1, to be discontinued
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NEWS 4	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 5	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 6	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 7	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 8	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 9	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 10	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 11	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 12	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 13	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 14	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 15	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 16	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS 17	JUL 28	CA/CAplus patent coverage enhanced
NEWS 18	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 19	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 20	JUL 28	STN Viewer performance improved
NEWS 21	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 22	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 23	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS 24	AUG 15	CAplus currency for Korean patents enhanced
NEWS 25	AUG 25	CA/CAplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching
NEWS 26	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information

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 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE 'HOME' ENTERED AT 21:07:04 ON 01 SEP 2008

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

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DICTIONARY FILE UPDATES:  31 AUG 2008  HIGHEST RN 1045348-29-5

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Uploading C:\Program Files\Stnexp\Queries\10584951.str
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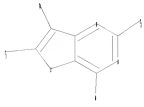
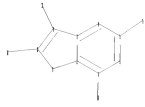




chain nodes :
12 13 15
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-10 5-15 8-13 9-12
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9
exact/norm bonds :
1-10 5-15 8-13 9-12
exact bonds :
2-7 3-9 7-8 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

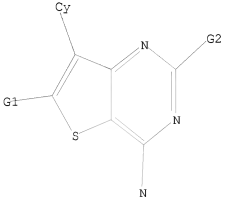
G1:H,O,S,N,X,Cb,Ak

G2:H,O,S,N,X,Cb,Ak,CN

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:Atom 13:CLASS 15:CLASS
Generic attributes :
12:
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 H,O,S,N,X,Cb,Ak
G2 H,O,S,N,X,Cb,Ak,CN

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 21:08:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 384 TO ITERATE

100.0% PROCESSED 384 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 6505 TO 8855
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful
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SEARCH TIME: 00.00.01

L3 38 SEA SSS FUL L1

=> fil capl
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.82 179.03

FILE 'CAPLUS' ENTERED AT 21:08:49 ON 01 SEP 2008
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FILE COVERS 1907 - 1 Sep 2008 VOL 149 ISS 10
FILE LAST UPDATED: 31 Aug 2008 (20080831/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l3
L4 6 L3

=> d l4 ibib hitstr abs 1-6

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:735944 CAPLUS
DOCUMENT NUMBER: 149:79634
TITLE: Thienopyrimidine and furopyrimidine derivatives as phosphoinositide 3-kinase inhibitor and their preparation, pharmaceutical compositions and use in the treatment of cancer
INVENTOR(S): Castanedo, Georgette; Dotson, Jennafer; Goldsmith, Richard; Gunzner, Janet; Heffron, Tim; Mathieu, Simon; Olivero, Alan; Staben, Steven; Sutherlin, Daniel P.; Tsui, Vickie; Wang, Shumei; Zhu, Bing-Yan; Bayliss, Tracy; Chuckowree, Irina; Folkes, Adrian; Wan, Nan Chi
PATENT ASSIGNEE(S): Genentech, Inc., USA; Piramed Limited
SOURCE: PCT Int. Appl., 342pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008073785	A2	20080619	WO 2007-US86533	20071205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2006-873422P P 20061207
OTHER SOURCE(S): MARPAT 149:79634
IT 956391-41-6P 1033743-87-1P 1033743-89-3P 1033744-74-9P 1033744-76-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of thienopyrimidine and furopyrimidine derivs. as phosphoinositide 3 kinase inhibitors useful in the treatment of cancer)
RN 956391-41-6 CAPLUS
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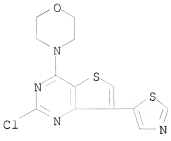

RN 1033743-87-1 CAPLUS
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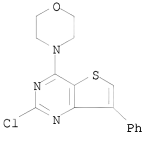
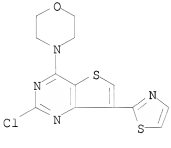


RN 1033743-89-3 CAPLUS
CN Thieno[3,2-d]pyrimidine, 2-chloro-4-(4-morpholinyl)-7-(2-thiazolyl)- (CA INDEX NAME)



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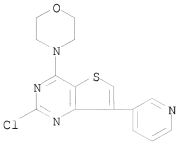

RN 1033744-76-1 CAPLUS
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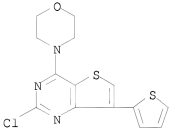
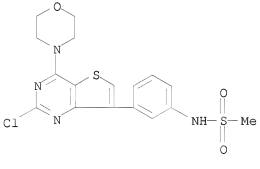
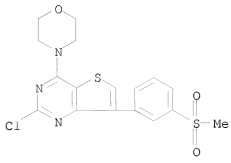


IT 1038918-95-4P 1038918-96-5P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thienopyrimidine and furopyrimidine derivs. as phosphoinositide 3 kinase inhibitors useful in the treatment of cancer)
RN 1038918-95-4 CAPLUS
CN Methanesulfonamide, N-[3-[2-chloro-4-(4-morpholinyl)thieno[3,2-d]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)



RN 1038918-96-5 CAPLUS
CN Thieno[3,2-d]pyrimidine, 2-chloro-7-[3-(methylsulfonyl)phenyl]-4-(4-morpholinyl)- (CA INDEX NAME)



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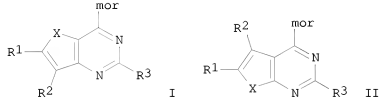

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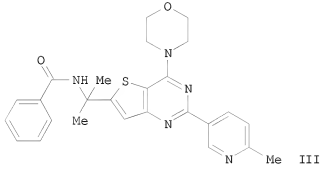

III

AB Compds. of formulas I and II, including stereoisomers, geometric isomers, tautomers, solvates, metabolites and pharmaceutically acceptable salts thereof, are useful for modulating the activity of lipid kinases including PI3K, and for treating disorders such as cancer mediated by lipid kinases. Methods of using compds. of formula I and II for in vitro, in situ, and in vivo diagnosis, prevention or treatment of such disorders in mammalian cells, or associated pathol. conditions, are disclosed. Compds. of formula I and II wherein X is O and S; R1 is H, F, Cl, Br, I, C-(C1-6 alkyl)2-NH2 and derivs., etc.; R2 is H, F, CL, Br, I, C6-20 aryl, C1-20 heteroaryl, C1-6 alkyl, C2-8 alkenyl, and C2-8 alkynyl; R3 is (un)substituted monocyclic heteroaryl; mor is morpholine; and their stereoisomers, geometric isomers, tautomers, metabolites and pharmaceutically acceptable salts thereof, are claimed. Example compound III was prepared by a general procedure (procedure given). All the invention compds. were evaluated for

their PI3K inhibitory activity.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1275272 CAPLUS
DOCUMENT NUMBER: 147:522262
TITLE: Preparation of 4-(morpholino)thienopyrimidines and 4-(morpholino)furopyrimidines as phosphoinositide 3-kinase inhibitors and their pharmaceutical compositions
INVENTOR(S): Castanedo, Georgette; Goldsmith, Richard; Gunzner, Janet; Heffron, Tim; Malesky, Kimberly; Mathieu, Simon; Olivero, Alan; Sutherlin, Daniel P.; Tsui, Vickie; Wang, Shumei; Weismann, Christian; Zhu, Bing-Yan; Dotson, Jennafer; Folkes, Adrian; Shuttleworth, Stephen; Oxenford, Sally; Hancox, Tim; Bayliss, Tracy
PATENT ASSIGNEE(S): Genentech, Inc., USA; Piramed Limited
SOURCE: PCT Int. Appl., 302pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007127183	A1	20071108	WO 2007-US9880	20070424
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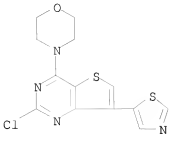
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080039459	A1	20080214	US 2007-789427	20070424

PRIORITY APPLN. INFO.: US 2006-795047P P 20060426
OTHER SOURCE(S): MARPAT 147:522262
IT 956391-41-6, 2-Chloro-4-(morpholin-4-yl)-7-(thiazol-5-yl)thieno[3,2-d]pyrimidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-(morpholino)thienopyrimidines and 4-(morpholino)furopyrimidines as phosphoinositide 3-kinase inhibitors)
RN 956391-41-6 CAPLUS
CN Thieno[3,2-d]pyrimidine, 2-chloro-4-(4-morpholinyl)-7-(5-thiazolyl)- (CA INDEX NAME)



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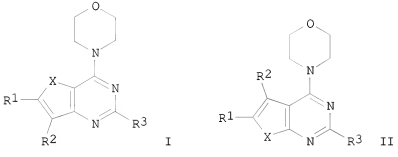

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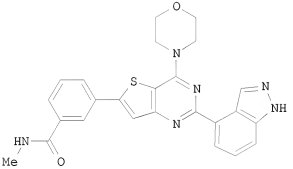

III

AB The invention is related to the preparation of title compds. I and II [X = O, S; R1 = H, F, Cl, Br, I, CN, (un)substituted alk(en/yn)yl, aryl, etc.; R2 = H, CF3, NO2, Br, OH and derivs., (un)substituted heteroaryl, carbocyclyl, SO2H and derivs., etc.; R3 = (un)substituted fused bicyclic heterocyclyl or fused bicyclic heteroaryl], their stereoisomers, geometric isomers, tautomers, solvates, metabolites and pharmaceutically acceptable salts as inhibitors of lipid kinases including phosphoinositide 3-kinase (PI3K). The invention is also related to methods of using the fused pyrimidines I and II for in vitro, in situ, and in vivo diagnosis, prevention or treatment of disorders in mammalian cells, or associated pathol. conditions (no data). Thus, coupling of 2-chloro-6-iodo-4-(morpholin-4-yl)thieno[3,2-d]pyrimidine (preparation given) with [3-(N-methylaminocarbonyl)phenyl]boronic acid and coupling of the chloride

with 4-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-1H-indazole (preparation given) gave thienopyrimidine III. Thienopyrimidine III inhibited p110alpha PI3K with IC50 < 1 μM in a selectivity scintillation proximity assay. Thienopyrimidines and furopyrimidines of the invention are useful for treating disorders such as cancer mediated by lipid kinases.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:638888 CAPLUS
DOCUMENT NUMBER: 143:153395
TITLE: Preparation of thienopyrimidines and thienopyridines substituted with cyclic amino group as CRF antagonists
INVENTOR(S): Nakazato, Atsuro; Okubo, Taketoshi; Nozawa, Dai; Tamita, Tomoko; Kennis, Ludo E. J.
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066182	A1	20050721	WO 2005-JP318	20050106
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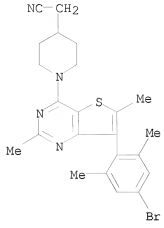
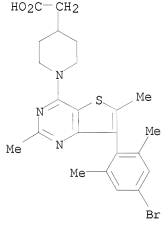
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2552598	A1	20050721	CA 2005-2552598	20050106
EP 1701961	A1	20060920	EP 2005-703557	20050106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1910190	A	20070207	CN 2005-80002023	20050106
JP 2007517793	T	20070705	JP 2006-546613	20050106
US 20070254898	A1	20071101	US 2006-584951	20060913
PRIORITY APPLN. INFO.:			JP 2004-1310 A	20040106
			WO 2005-JP318 W	20050106

OTHER SOURCE(S): CASREACT 143:153395; MARPAT 143:153395
IT 860014-95-5P 860015-14-1P 860015-20-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thienopyrimidines and thienopyridines substituted with cyclic amino group as CRF antagonists)
RN 860014-95-5 CAPLUS
CN 4-Piperidineacetonitrile, 1-[7-(4-bromo-2,6-dimethylphenyl)-2,6-dimethylthieno[3,2-d]pyrimidin-4-yl]-, hydrochloride (1:1) (CA INDEX NAME)

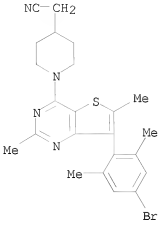


● HCl

RN 860015-14-1 CAPLUS
CN 4-Piperidineacetic acid, 1-[7-(4-bromo-2,6-dimethylphenyl)-2,6-dimethylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)



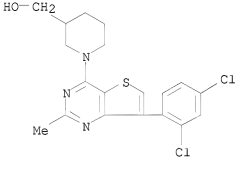
RN 860015-20-9 CAPLUS
CN 4-Piperidineacetonitrile, 1-[7-(4-bromo-2,6-dimethylphenyl)-2,6-dimethylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)



IT 860014-73-9P 860014-76-2P 860014-79-5P
860014-84-2P 860014-87-5P 860014-90-0P
860014-92-2P 860014-93-3P 860014-94-4P
860015-15-2P 860015-16-3P 860015-17-4P
860015-18-5P 860015-21-0P 860015-22-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienopyrimidines and thienopyridines substituted with cyclic amino group as CRF antagonists)

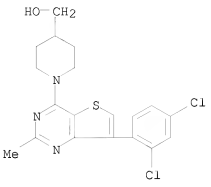
RN 860014-73-9 CAPLUS

CN 3-Piperidinemethanol, 1-[7-(2,4-dichlorophenyl)-2-methylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)

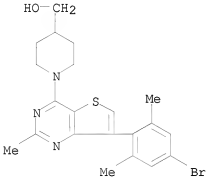


RN 860014-76-2 CAPLUS

CN 4-Piperidinemethanol, 1-[7-(2,4-dichlorophenyl)-2-methylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)

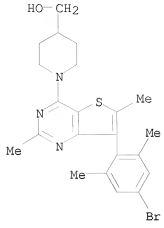


RN 860014-79-5 CAPLUS
CN 4-Piperidinemethanol, 1-[7-(4-bromo-2,6-dimethylphenyl)-2-methylthieno[3,2-d]pyrimidin-4-yl]-, hydrochloride (1:1) (CA INDEX NAME)



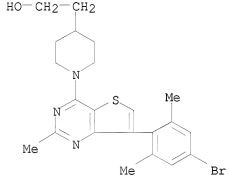
● HCl

RN 860014-84-2 CAPLUS
CN 4-Piperidinemethanol, 1-[7-(4-bromo-2,6-dimethylphenyl)-2,6-dimethylthieno[3,2-d]pyrimidin-4-yl]-, hydrochloride (1:1) (CA INDEX NAME)



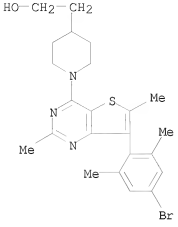
● HCl

RN 860014-87-5 CAPLUS
CN 4-Piperidineethanol, 1-[7-(4-bromo-2,6-dimethylphenyl)-2-methylthieno[3,2-d]pyrimidin-4-yl]-, hydrochloride (1:1) (CA INDEX NAME)



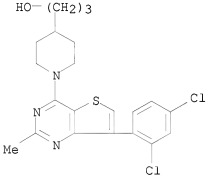
● HCl

RN 860014-90-0 CAPLUS
CN 4-Piperidineethanol, 1-[7-(4-bromo-2,6-dimethylphenyl)-2,6-dimethylthieno[3,2-d]pyrimidin-4-yl]-, hydrochloride (1:1) (CA INDEX NAME)

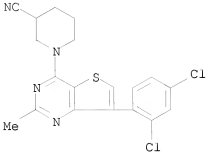


● HCl

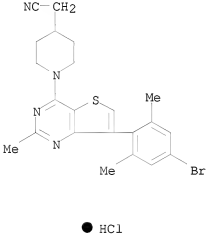
RN 860014-92-2 CAPLUS
CN 4-Piperidinepropanol, 1-[7-(2,4-dichlorophenyl)-2-methylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)



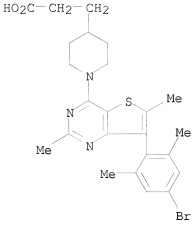
RN 860014-93-3 CAPLUS
CN 3-Piperidinecarbonitrile, 1-[7-(2,4-dichlorophenyl)-2-methylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)



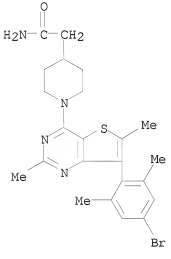
RN 860014-94-4 CAPLUS
CN 4-Piperidineacetonitrile, 1-[7-(4-bromo-2,6-dimethylphenyl)-2-methylthieno[3,2-d]pyrimidin-4-yl]-, hydrochloride (1:1) (CA INDEX NAME)



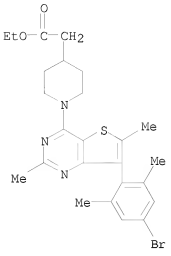
RN 860015-15-2 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[7-(4-bromo-2,6-dimethylphenyl)-2,6-dimethylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)



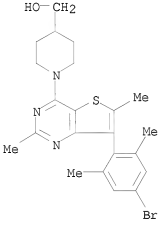
RN 860015-16-3 CAPLUS
CN 4-Piperidineacetamide, 1-[7-(4-bromo-2,6-dimethylphenyl)-2,6-dimethylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)



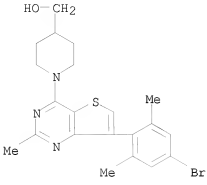
RN 860015-17-4 CAPLUS
CN 4-Piperidineacetic acid, 1-[7-(4-bromo-2,6-dimethylphenyl)-2,6-dimethylthieno[3,2-d]pyrimidin-4-yl]-, ethyl ester (CA INDEX NAME)



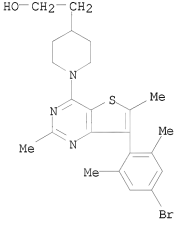
RN 860015-18-5 CAPLUS
CN 4-Piperidinemethanol, 1-[7-(4-bromo-2,6-dimethylphenyl)-2,6-dimethylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)



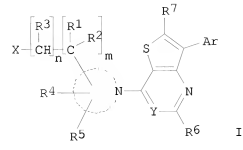
RN 860015-21-0 CAPLUS
CN 4-Piperidinemethanol, 1-[7-(4-bromo-2,6-dimethylphenyl)-2-methylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)



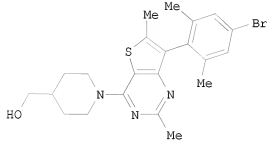
RN 860015-22-1 CAPLUS
CN 4-Piperidineethanol, 1-[7-(4-bromo-2,6-dimethylphenyl)-2,6-dimethylthieno[3,2-d]pyrimidin-4-yl]- (CA INDEX NAME)



GI



I



II

AB The title compds. I [cyclic amino group is (un)substituted 3-8 membered saturated cyclic amine or 3-8 membered saturated cyclic amine bridged with alkylene or alkylene-O-alkylene; X = CN , OH, CO2H, etc.; Y = N, CR11; R1 = H, OH, alkyl, alkoxyalkyl, hydroxyalkyl; R2 = H, alkyl; R3 = H, CN, alkyl, alkoxyalkyl, hydroxyalkyl; m = 0-5; n = 0-1; R4 = H, OH, hydroxyalkyl, etc.; R5 = H, alkyl; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, halo, alkyl, etc.; Ar = (un)substituted (hetero)aryl; R11 = H, halo, alkyl; and their pharmaceutically acceptable salts] which have a high affinity for CRF receptors and are effective against diseases in which CRF is considered to be involved, were prepared Thus, reacting 7-(4-bromo-2,6-dimethylphenyl)-4-chloro-2.6-dimethylthieno[3,2-d]pyrimidine with piperidin-4-ylmethanol followed by conversion of the free base to its HCl salt afforded II.HCl which showed IC50 of ≤ 100 nM in CRF receptor binding test. An object of the present invention is to provide an antagonist against CRF receptors which is effective as a therapeutic or prophylactic agent for diseases in which CRF is considered to be involved, such as depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, gastral diseases, drug dependence, epilepsy, cerebral infarction, cerebral ischemia, cerebral edema, cephalic external wound, inflammation, immunity-related diseases, alopecia, irritable bowel syndrome, sleep disorders, dermatitis, schizophrenia, pain, etc.

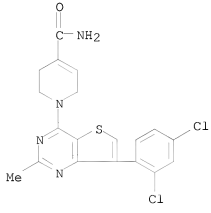
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:31438 CAPLUS
DOCUMENT NUMBER: 136:102370
TITLE: Preparation of tetrahydropyridine or piperidine heterocyclic derivatives and their affinity for CRF receptors
INVENTOR(S): Nakazato, Atsuro; Kumagai, Toshihito; Okubo, Taketoshi; Kameo, Kazuya
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

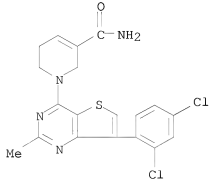
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002549	A1	20020110	WO 2001-JP5806	20010704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412287	A1	20020110	CA 2001-2412287	20010704
AU 2001069437	A	20020114	AU 2001-69437	20010704
EP 1299378	A1	20030409	EP 2001-947819	20010704
EP 1299378	B1	20070214		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003001165	A2	20030828	HU 2003-1165	20010704
BR 2001012166	A	20030902	BR 2001-12166	20010704
JP 2004502685	T	20040129	JP 2002-507801	20010704
TW 591022	B	20040611	TW 2001-90116391	20010704
EE 200300007	A	20040816	EE 2003-7	20010704
CN 1535968	A	20041013	CN 2004-10033876	20010704
AU 2001269437	B2	20051201	AU 2001-269437	20010704
AT 353885	T	20070315	AT 2001-947819	20010704
IN 2002KN01508	A	20040717	IN 2002-KN1508	20021210
ZA 2002010041	A	20031211	ZA 2002-10041	20021211
BG 107374	A	20040930	BG 2002-107374	20021211
NO 2002006125	A	20030204	NO 2002-6125	20021219
MX 2002PA12820	A	20030514	MX 2002-PA12820	20021219
US 20040034061	A1	20040219	US 2003-311277	20030825
US 6852732	B2	20050208		
HK 1057042	A1	20061013	HK 2003-109322	20031223
US 20050009874	A1	20050113	US 2004-912185	20040806
US 7160900	B2	20070109		

PRIORITY APPLN. INFO.:			
	JP 2000-204021	A	20000705
	JP 2000-270535	A	20000906
	WO 2000-JP5806	W	20000704
	WO 2001-JP5806	W	20010704
	US 2003-311277	A3	20030825

OTHER SOURCE(S): MARPAT 136:102370
IT 388123-06-6P 388123-08-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydropyridine or piperidine heterocyclic derivs. and their affinity for CRF receptors)
RN 388123-06-6 CAPLUS
CN 4-Pyridinecarboxamide, 1-[7-(2,4-dichlorophenyl)-2-methylthieno[3,2-d]pyrimidin-4-yl]-1,2,3,6-tetrahydro- (CA INDEX NAME)



RN 388123-08-8 CAPLUS
CN 3-Pyridinecarboxamide, 1-[7-(2,4-dichlorophenyl)-2-methylthieno[3,2-d]pyrimidin-4-yl]-1,2,5,6-tetrahydro- (CA INDEX NAME)

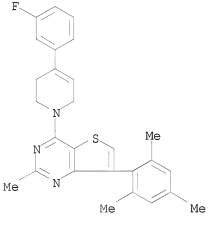


AB Tetrahydropyridine or piperidine heterocyclic derivs. with high affinity for CRF receptors were prepared E.g., 5-(4-carbamoyl-1,2,3,6-tetrahydropyridin-1-yl)-2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole was prepared by bromination of 2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole hydrochloride, followed by reaction with 5-carbamoyl-1,2,3,6-tetrahydropyridine hydrochloride.
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

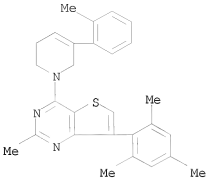
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:196618 CAPLUS
DOCUMENT NUMBER: 132:237104
TITLE: Preparation of aryltetrahydropyridines as corticotropin releasing factor (CRF) receptor antagonists
INVENTOR(S): Nakazato, Atsuo; Kumagaya, Toshihito; Okubo, Taketoshi; Kataoka, Hiromi; Tomisawa, Kazuyuki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000086663	A	20000328	JP 1998-255778	19980909
PRIORITY APPLN. INFO.:			JP 1998-255778	19980909

OTHER SOURCE(S): MARPAT 132:237104
IT 262273-84-7P 262273-86-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryltetrahydropyridines as corticotropin releasing factor receptor antagonists)
RN 262273-84-7 CAPLUS
CN Thieno[3,2-d]pyrimidine, 4-[4-(3-fluorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-2-methyl-7-(2,4,6-trimethylphenyl)- (CA INDEX NAME)



RN 262273-86-9 CAPLUS
CN Thieno[3,2-d]pyrimidine, 4-[3,6-dihydro-5-(2-methylphenyl)-1(2H)-pyridinyl]-2-methyl-7-(2,4,6-trimethylphenyl)- (CA INDEX NAME)



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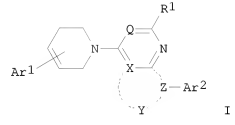
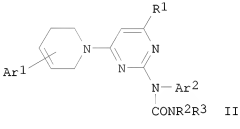


I



II

AB Aryltetrahydropyridines I , II [Ar1 = (un)substituted Ph, thienyl, furyl; Ar2 = (un)substituted Ph; Q = N, CH; XYZ = CN:CHN, CNR2CON; CN:NN, CCR3:CR2N, CNR2COCH2N, CNR2CH2CH2N, NN:CR2C, CSCR2:C; R1-R3 = H, lower alkyl], or their pharmacol. acceptable salts are prepared The aryltetrahydropyridines are useful for treatment of depression, Alzheimer's disease, hypertension, inflammation, cerebral infarction, etc. N-tert-butoxycarbonyl-3-hydroxy-3-(2-methylphenyl)piperidine (590 mg) was treated with concentrated H2SO4 and successively treated with 200 mg 6-chloro-2-methyl-9-(2-methylthio-4-isopropylphenyl)purine to give 243 mg I [Ar1 = 5-(2-MeC6H4), Ar2 = 2-methylthio-4-isopropylphenyl, Q = N, XYZ = CN:CHN, R1 = Me], which inhibited binding of 125I-CRF to CRF receptor with IC50 of 20.19 nM.

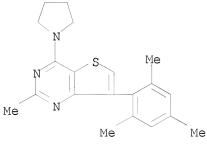
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:542451 CAPLUS
DOCUMENT NUMBER: 127:234327
ORIGINAL REFERENCE NO.: 127:45729a,45732a
TITLE: Preparation of thienopyrimidines as corticotropin-releasing factor antagonists
INVENTOR(S): Chen, Chen; Webb, Thomas R.; McCarthy, James R.; Moran, Terence J.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Neurocrine Biosciences, Inc.; Chen, Chen; Webb, Thomas R.; McCarthy, James R.; Moran, Terence J.
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729110	A1	19970814	WO 1997-EP457	19970130
W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KZ, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2233307	A1	19970814	CA 1997-2233307	19970130
AU 9717209	A	19970828	AU 1997-17209	19970130
AU 725674	B2	20001019		
EP 882051	A1	19981209	EP 1997-904368	19970130
EP 882051	B1	20011107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
JP 2000504678	T	20000418	JP 1997-528122	19970130
AT 208395	T	20011115	AT 1997-904368	19970130
ES 2167710	T3	20020516	ES 1997-904368	19970130
TW 448178	B	20010801	TW 1997-86101374	19970205
ZA 9700988	A	19980907	ZA 1997-988	19970206
NZ 330118	A	20000327	NZ 1998-330118	19980130
NO 9801356	A	19980709	NO 1998-1356	19980325
US 6255310	B1	20010703	US 1998-117715	19981228
IN 1997CA00197	A	20050311	IN 1997-CA197	19990203
US 20020052362	A1	20020502	US 2001-896250	20010629
US 6469166	B2	20021022		

PRIORITY APPLN. INFO.:			
	US 1996-11274P	P	19960207
	US 1996-27689P	P	19961008
	WO 1997-EP457	W	19970130
	US 1998-117715	A1	19981228

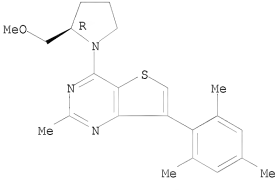
OTHER SOURCE(S): MARPAT 127:234327
IT 195212-56-7P 195212-59-0P 195212-62-5P
195212-65-8P 195212-67-0P 195213-07-1P
195213-09-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienopyrimidines as corticotropin-releasing factor

antagonists)
RN 195212-56-7 CAPLUS
CN Thieno[3,2-d]pyrimidine, 2-methyl-4-(1-pyrrolidinyl)-7-(2,4,6-trimethylphenyl)- (CA INDEX NAME)

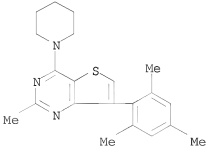


RN 195212-59-0 CAPLUS
CN Thieno[3,2-d]pyrimidine, 4-[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]-2-methyl-7-(2,4,6-trimethylphenyl)- (CA INDEX NAME)

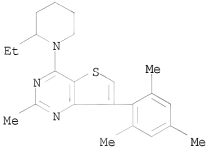
Absolute stereochemistry.



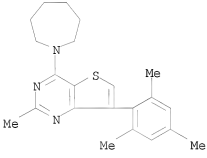
RN 195212-62-5 CAPLUS
CN Thieno[3,2-d]pyrimidine, 2-methyl-4-(1-piperidinyl)-7-(2,4,6-trimethylphenyl)- (CA INDEX NAME)



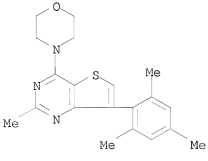
RN 195212-65-8 CAPLUS
CN Thieno[3,2-d]pyrimidine, 4-(2-ethyl-1-piperidinyl)-2-methyl-7-(2,4,6-trimethylphenyl)- (CA INDEX NAME)



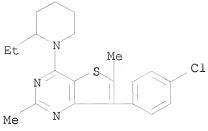
RN 195212-67-0 CAPLUS
CN Thieno[3,2-d]pyrimidine, 4-(hexahydro-1H-azepin-1-yl)-2-methyl-7-(2,4,6-trimethylphenyl)- (CA INDEX NAME)



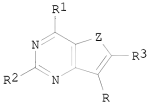
RN 195213-07-1 CAPLUS
CN Thieno[3,2-d]pyrimidine, 2-methyl-4-(4-morpholinyl)-7-(2,4,6-trimethylphenyl)- (CA INDEX NAME)



RN 195213-09-3 CAPLUS
CN Thieno[3,2-d]pyrimidine, 7-(4-chlorophenyl)-4-(2-ethyl-1-piperidinyl)-2,6-dimethyl- (CA INDEX NAME)



GI



I

AB Title compds. [I; R = (un)substituted Ph, pyridinyl, etc.; R1 = NR4R5 or OR5; R2 = alkyl(oxy) or alkylthio; R3 = H, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl; R4 = H, (cyclo)alkyl, alkanoyloxyalkyl, etc,; R5 = alkyl, CH2Ph, thienylmethyl, morpholinyl, etc.; NR4R5 = pyrrolidino, piperidino, etc.; Z = SO0-2] were prepared Thus, 2,4,6-trimethylphenylacetonitrile was condensed with HCO2Et and the mesylated product cyclocondensed with AcSCH2CN to give 3-amino-2-cyano-4-(2,4,6-trimethylphenyl)thiophene which was N-acetylated and the product cyclized to give, after chlorination and amination, I (R = 2,4,6-trimethylphenyl, R1 = NPr2, R2 = Me. R3 = H). Data for biol. activity of I were given.

10584951

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	39.42	218.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.80	-4.80

STN INTERNATIONAL LOGOFF AT 21:17:25 ON 01 SEP 2008